

We claim:

1. A method for transporting a compound across a membrane or lipid bilayer, comprising contacting a proximal face of the membrane or bilayer with a complex comprising the compound and diketopiperazine (DKP), wherein transport of the compound from the proximal face of the lipid bilayer to a distal face of the lipid bilayer is increased in the presence of the DKP compared to in the absence of the DKP.
2. The method of claim 1, wherein the lipid bilayer comprises an intact cell.
3. The method of claim 2, wherein substantially no immune response is induced following contact of the cell with the complex.
4. The method of claim 3, wherein the immune response is increased by less than 20% in the presence of the DKP compared to in its absence.
5. The method of claim 1, wherein the compound is a biologically active agent.
6. The method of claim 5, wherein the biologically active agent is selected from the group consisting of insulin, an insulin precursor, Parathyroid hormone (PTH), Calcitonin, Human Growth Hormone (HGH), Glucagon-like peptides (GLP), cytokines, chemokines, and fragments thereof.
7. The method of claim 5, wherein the biologically active agent is an antibody or fragment thereof.
8. The method of claim 1, wherein the diameter of the complex is less than 5 microns.
9. The method of claim 1, wherein the diameter of complex is less than 2.5 microns.
10. The method of claim 1, wherein the diameter of the complex is between 1.5 and 2.5 microns.

11. The method of claim 3, wherein the immune response is measured by detecting an antibody, T cell proliferation, or production of a cytokine.
12. The method of claim 11, wherein the cytokine is interleukin-2.
13. The method of claim 1, wherein DKP does not engage a toll-like receptor.
14. The method of claim 1, wherein a pulmonary tissue or cells are contacted.
15. The method of claim 14, wherein the pulmonary tissue comprises a small airway of the lung.
16. The method of claim 14, wherein the tissue comprises alveoli.
17. The method of claim 14, wherein a dose of the compound is between 0.5 and 100 milligrams per administration.
18. The method of claim 14, wherein a dose of the compound is between 500 and 1000 micrograms per administration.
19. The method of claim 14, wherein a dose of the compound is between 2 and 16 milligrams per day.
20. The method of claim 14, wherein the molecular weight of the compound is less than 200 kDa.
21. The method of claim 14, wherein the molecular weight of the compound is less than 100 kDa.
22. The method of claim 14, wherein the molecular weight of the compound is less than 100 kDa.
23. The method of claim 14, wherein the molecular weight of the compound is between 3 and 6 kDa.
24. The method of claim 14, wherein the composition is a polypeptide.
25. The method of claim 24, wherein the amino acid sequence of the polypeptide is identical to a naturally-occurring polypeptide expressed by a member of the species of the mammal.

26. The method of claim 24, wherein the polypeptide is an insulin, an insulin precursor, Parathyroid hormone (PTH), Calcitonin, Human Growth Hormone (HGH), Glucagon-like peptides (GLP), or a fragment thereof.

27. The method of claim 24, wherein the polypeptide is an antibody or fragment thereof.

28. The method of claim 14, wherein the method comprises a plurality of contacting steps.

29. The method of claim 28, wherein an interval of time between the contacting steps is less than 24 hours.

30. The method of claim 29, wherein the interval is less than 12 hours.

31. The method of claim 29, wherein the interval is less than 6 hours.

32. The method of claim 29, wherein the interval is less than 3 hours.

33. The method of claim 28, wherein following the plurality of contacting steps, immune cells in the pulmonary tissue are non-responsive to subsequent contact with the compound.

34. The method of claim 1, wherein the membrane or lipid bilayer is located in a mammal.

35. The method of claim 34, wherein the mammal is a human.

36. The method of claim 34, wherein the complex is administered orally.

37. A composition for transporting a compound across a membrane or lipid bilayer, comprising the compound and diketopiperazine (DKP), wherein transport of the compound from the proximal face of the lipid bilayer to a distal face of the lipid bilayer is increased in the presence of the DKP compared to in the absence of the DKP.